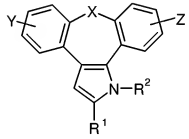
CLAIMS

1. A method of treating a disease, damage or disorder of the central nervous system associated with a disorder of neurochemical equilibrium of a biogenic amine or other neurotransmitter, comprising administering to a subject in need thereof a compound of formula **I**



I

wherein

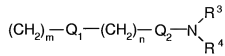
X is selected from the group consisting of CH_2, O, S, S(=O), S(=O)$_2$ and NR^a, wherein R^a is selected from the group consisting of hydrogen, C_1-C_3-alkyl, C_1-C_3-alkanoyl, C_1-C_7-alkoxycarbonyl, C_7-C_{10}-arylalkyloxycarbonyl, C_7-C_{10}-aroyl, C_7-C_{10}-arylalkyl, C_3-C_7-alkylsilyl and C_5-C_{10}-alkylsilylalkyloxyalkyl;

Y and Z are each independently selected from the group consisting of hydrogen, halogen, C_1-C_4-alkyl, C_2-C_4-alkenyl, C_2-C_4-alkynyl, halo-C_1-C_4-alkyl, hydroxy, C_1-C_4-alkoxy, trifluoromethoxy, C_1-C_4-alkanoyl, amino, amino-C_1-C_4-alkyl, C_1-C_4-alkylamino, *N*-(C_1-C_4-alkyl)amino, *N*,*N*-di(C_1-C_4-alkyl)amino, thiol, C_1-C_4-alkylthio, sulfonyl, C_1-C_4-alkylsulfonyl, sulfinyl, C_1-C_4-alkylsulfinyl, carboxy, C_1-C_4-alkoxycarbonyl, cyano and nitro;

R^1 is selected from the group consisting of hydrogen, halogen, C_1-C_7-alkyl optionally substituted with one or more substituents selected from the group consisting of halogen, hydroxy, C_1-C_4 alkoxy, thiol, C_1-C_4 alkylthio, amino, N-(C_1-C_4) alkylamino, N,N-di(C_1-C_4-alkyl)-amino, sulfonyl, C_1-C_4 alkylsulfonyl, sulfinyl and C_1-C_4 alkylsulfinyl; C_2-C_7-alkenyl optionally substituted with one or more halogen atoms; C_2-C_7-alkynyl; hydroxy; hydroxy-C2-C7-alkenyl; hydroxy-C_2-C_7-alkynyl; C_1-C_7-alkoxy; thiol; thio-C_2-C_7-alkenyl; thio-C_2-C_7-alkynyl; C_1-C_7-alkylthio; amino, *N*-(C_1-C_7-alkyl)amino; *N*,*N*-di(C_1-C_7-alkyl)amino; C_1-C_7-alkylamino; amino-C_2-C_7-alkenyl; amino-C_2-C_7-alkynyl; amino-C_1-C_7-alkoxy; C_1-C_7-alkanoyl; C_7-C_{10}-aroyl; oxo-C_1-C_7-alkyl; C_1-C_7-alkanoyloxy; carboxy; ~~an~~ C_1-C_7-alkyloxycarbonyl ~~or~~ C_1-C_7-aryloxycarbonyl; carbamoyl; *N*-(C_1-C_7-

alkyl)carbamoyl; *N,N*-di(C_1-C_7-alkyl)carbamoyl; cyano; cyano-C_1-C_7-alkyl; sulfonyl; C_1-C_7-alkylsulfonyl; sulfinyl; C_1-C_7-alkylsulfinyl; nitro;

a substituent of the formula **II**:



II

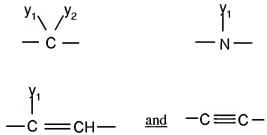
wherein

R^3 and R^4 are each independently selected from the group consisting of hydrogen, C_1-C_4-alkyl, and aryl; or

R^3 and R^4 taken together with the nitrogen atom to which they are attached form a heterocycle or heteroaryl group optionally substituted with one or two substituents which are selected from the group consisting of halogen, C_1-C_4 alkyl, cyano, nitro, hydroxy, C_1-C_4 alkoxy, thiol, C_1-C_4 alkylthio, amino, *N*-(C_1-C_4) alkylamino, *N,N*-di(C_1-C_4-alkyl)-amino, sulfonyl, C_1-C_4 alkylsulfonyl, sulfinyl, and C_1-C_4 alkylsulfinyl;

m and n are each independently an integer from 0 to 3;

Q_1 and Q_2 are each independently selected from the group consisting of oxygen, sulfur,



wherein substituents

y_1 and y_2 are each independently selected from the group consisting of hydrogen, halogen, C_1-C_4-alkyl or aryl optionally substituted with one or more substituents selected from the group consisting of halogen, hydroxy, C_1-C_4 alkoxy, thiol, C_1-C_4 alkylthio, amino, *N*-(C_1-C_4) alkylamino, *N,N*-di(C_1-C_4-alkyl)-amino, sulfonyl, C_1-C_4 alkylsulfonyl, sulfinyl and C_1-C_4 alkylsulfinyl; ~~or~~ aryl optionally substituted with one or two substituents selected from the group consisting of halogen, C_1-C_4 alkyl, cyano, nitro, hydroxy, C_1-C_4 alkoxy, thiol, C_1-C_4 alkylthio, amino, *N*-(C_1-C_4) alkylamino, *N,N*-di(C_1-C_4-alkyl)-amino,

sulfonyl, C_1-C_4 alkylsulfonyl, sulfinyl, and C_1-C_4 alkylsulfinyl; hydroxy, C_1-C_4-alkoxy, C_1-C_4-alkanoyl, thiol, C_1-C_4-alkylthio, sulfonyl, C_1-C_4-alkylsulfonyl, sulfinyl, C_1-C_4-alkylsulfinyl, cyano, and nitro, or

y_1 and y_2 taken together with the carbon atom to which they are attached form a carbonyl group or an imino group;

a monocyclic or bicyclic aryl group; a monocyclic or bicyclic heteroaryl group; and a heterocycle, wherein the monocyclic or bicyclic aryl group, the monocyclic or bicyclic heteroaryl group and the heterocycle are linked to the thiophene ring via a direct bond or a C_1-C_4 alkylene group , and are each optionally substituted with one or more substituents selected from the group consisting of fluoro, chloro, C_1-C_4 alkyl, cyano, nitro, hydroxy, C_1-C_4 alkoxy, thiol, C_1-C_4 alkylthio, amino, *N*-(C_1-C_4) alkylamino, *N*,*N*-di(C_1-C_4-alkyl)-amino, sulfonyl, C_1-C_4 alkylsulfonyl, sulfinyl and C_1-C_4 alkylsulfinyl;

R^2 is hydrogen, C_1-C_7-alkyl optionally substituted with one or more substituents selected from the group consisting of halogen, hydroxy, C_1-C_4 alkoxy, thiol, C_1-C_4 alkylthio, amino, *N*-(C_1-C_4) alkylamino, *N*,*N*-di(C_1-C_4-alkyl)-amino, sulfonyl, C_1-C_4 alkylsulfonyl, sulfinyl and C_1-C_4 alkylsulfinyl; aryl optionally substituted with one or two substituents selected from the group consisting of halogen, C_1-C_4 alkyl, cyano, nitro, hydroxy, C_1-C_4 alkoxy, thiol, C_1-C_4 alkylthio, amino, *N*-(C_1-C_4) alkylamino, *N*,*N*-di(C_1-C_4-alkyl)-amino, sulfonyl, C_1-C_4 alkylsulfonyl, sulfinyl, and C_1-C_4 alkylsulfinyl; C_1-C_7-alkanoyl, C_1-C_7-alkoxycarbonyl, C_7-C_{10}-arylalkyloxycarbonyl, C_7-C_{10}-aroyl, C_7-C_{10}-arylalkyl, or C_3-C_7-alkylsilyl;

and a pharmaceutically acceptable salt or solvate thereof.

2. The method of claim 1, wherein the biogenic amine is serotonin, norepinephrine or dopamine.

3. The method of claim 1, wherein the neurotransmitter is glutamate.

4. The method of claim 1 wherein the compound of formula **I** regulates the synthesis, storage, release, metabolism, reabsorption or receptor binding of a biogenic amine or neurotransmitter.

5. The method of claim 4, wherein the compound of formula **I** binds to a receptor of a biogenic amine.

6. The method of claim 5, wherein the compound of formula I binds to a serotonin 5-HT_{2A} or 5-HT_{2C} receptor.

7. The method of claim 6, wherein the compound of formula I binds to a serotonin 5-HT_{2A} or 5-HT_{2C} receptor with an IC_{50} of less than 1μM.

8. The method of claim 1, wherein the compound of formula I binds to a σ1 receptor with an IC_{50} of less than 1 μM.

9. The method of claim 1, wherein the compound of formula I binds to a σ1 receptor and to at least one serotonin receptor selected from 5-HT_{2A} and 5-HT_{2C}.

10. The method of claim 1, wherein the disease or disorder of the central nervous system ~~are~~ is selected from the group consisting of anxiety, depression, bipolar disorders, sleeping disorders, sexual disorders, psychosis, borderline psychosis, schizophrenia, migraine, personality disorders, obsessive-compulsive disorders, social phobia, ~~or~~ panic attacks, organic mental disorders in children, aggression, memory disorders, personality disorders in elderly people, addiction, obesity, bulimia and other eating disorders, snoring, and premenstrual troubles.

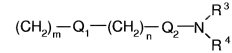
11. The method of claim 1, wherein the damage to the central nervous system is caused by trauma, brain stroke, neurodegenerative diseases, cardiovascular disorders, thrombosis, infarct or gastrointestinal disorders.

12. The method of claim 1 wherein X is O, S, or NR^a, wherein R^a is hydrogen, C_1-C_3-alkyl, C_1-C_3-alkanoyl, C_7-C_{10}-aroyl or C_7-C_{10}-arylalkyl.

13. The method of claim 1, wherein Y and Z are each independently selected from the group consisting of hydrogen, fluorine, chlorine, bromine, C_1-C_4-alkyl, halo-C_1-C_4-alkyl, hydroxy, C_1-C_4-alkoxy, trifluoromethoxy, C_1-C_4-alkanoyl, amino, amino-C_1-C_4-alkyl, *N*-(C_1-C_4-alkyl)amino, *N,N*-di(C_1-C_4-alkyl)amino, thiol, C_1-C_4-alkylthio, cyano and nitro.

14. The method of claim 1, wherein R^1 is selected from the group consisting of hydrogen, halogen, C_1-C_4-alkyl optionally substituted with one or more substituents selected from the group consisting of halogen, hydroxy, C_1-C_4 alkoxy, thiol, C_1-C_4 alkylthio, amino, *N*-(C_1-C_4) alkylamino and *N,N*-di(C_1-C_4-alkyl)-amino; hydroxy; C_1-C_4 alkoxy; thiol; C_1-C_4 alkylthio; amino; *N*-(C_1-C_4 alkyl)amino; *N,N*-di-(C_1-C_4 alkyl)amino; C_1-C_7 alkanoyl; C_7-C_{10}-aroyl; C_1-C_7 alkanoyloxy; C_1-C_7 alkyloxycarbonyl, C_7-C_{10}-aryloxycarbonyl; carbamoyl; *N*-(C_1-C_7-alkyl)carbamoyl; *N,N*-di(C_1-C_7-alkyl)carbamoyl; cyano; cyano-C_1-C_7 alkyl; nitro;

and a substituent of the formula **II**:



II

wherein

R^3 and R^4 are each independently hydrogen, C_1-C_4-alkyl, or aryl, or

R^3 and R^4 taken together with the nitrogen atom to which they are attached form a heterocycle or heteroaryl group selected from the group consisting of morpholine-4-yl, piperidine-1-yl, pyrrolidine-1-yl, imidazole-1-yl and piperazine-1-yl;

m and n are each independently an integer from 0 to 3; and

Q_1 and Q_2 are each independently oxygen or CH_2.

15. The method of claim 1, wherein the compound of formula **I** is selected from the group consisting of:

1H-8-oxa-1-aza-dibenzo[e,h]azulene;

11-chloro-1H-8-oxa-1-aza-dibenzo[e,h]azulene;

1H-8-thia-1-aza-dibenzo[e,h]azulene;

1H-8-oxa-1-aza-dibenzo[e,h]azulene-2-carbaldehyde;

11-chloro-1H-8-oxa-1-aza-dibenzo[e,h]azulene-2-carbaldehyde;

1H-8-thia-1-aza-dibenzo[e,h]azulene-2-carbaldehyde;

1-(2-trimethylsilyl-ethoxymethyl)-1H-8-oxa-1-aza-dibenzo[e,h]azulene-2-carbaldehyde;

11-chloro-1-(2-trimethylsilyl-ethoxymethyl)-1H-8-oxa-1-aza-dibenzo[e,h]azulene-2-carbaldehyde;

1-(2-trimethylsilyl-ethoxymethyl)-1H-8-thia-1-aza-dibenzo[e,h]azulene-2-carbaldehyde;

[1-(2-trimethylsilyl-ethoxymethyl)-1H-8-oxa-1-aza-dibenzo[e,h]azulen-2-yl]-methanol;

[11-chloro-1-(2-trimethylsilyl-ethoxymethyl)-1H-8-oxa-1-aza-dibenzo[e,h]azulen-2-yl]-methanol;

[1-(2-trimethylsilyl-ethoxymethyl)-1H-8-thia-1-aza-dibenzo[e,h]azulen-2-yl]-methanol;

dimethyl-{2-[1-(2-trimethylsilyl-ethoxymethyl)-1H-8-oxa-1-aza-dibenzo[e,h]azulen-2-ylmethoxy]-ethyl}-amine;

dimethyl-[2-(1H-8-oxa-1-aza-dibenzo[e,h]azulen-2-ylmethoxy)-ethyl]-amine;

dimethyl-{3-[1-(2-trimethylsilyl-ethoxymethyl)-1H-8-oxa-1-aza-dibenzo[e,h]azulen-2-ylmethoxy]-propyl}-amine;

dimethyl-[3-(1H-8-oxa-1-aza-dibenzo[e,h]azulen-2-ylmethoxy)-propyl]-amine;

{2-[11-chloro-1-(2-trimethylsilyl-ethoxymethyl)-1H-8-oxa-1-aza-dibenzo[e,h]azulen-2-ylmethoxy]-ethyl}-dimethyl-amine;

[2-(11-chloro-1H-8-oxa-1-aza-dibenzo[e,h]azulen-2-ylmethoxy)-ethyl]-dimethyl-amine;

{3-[11-chloro-1-(2-trimethylsilyl-ethoxymethyl)-1H-8-oxa-1-aza-dibenzo[e,h]azulen-2-ylmethoxy]-propyl}-dimethyl-amine;

[3-(11-chloro-1H-8-oxa-1-aza-dibenzo[e,h]azulen-2-ylmethoxy)-propyl]-dimethyl-amine;

dimethyl-{2-[1-(2-trimethylsilyl-ethoxymethyl)-1H-8-thia-1-aza-dibenzo[e,h]azulen-2-ylmethoxy]-ethyl}-amine;

dimethyl-[2-(1H-8-thia-1-aza-dibenzo[e,h]azulen-2-ylmethoxy)-ethyl]-amine;

dimethyl-{3-[1-(2-trimethylsilyl-ethoxymethyl)-1H-8-thia-1-aza-dibenzo[e,h]azulen-2-ylmethoxy]-propyl}-amine;

dimethyl-[3-(1H-8-thia-1-aza-dibenzo[e,h]azulen-2-ylmethoxy)-propyl]-amine;

3-[1-(2-trimethylsilyl-ethoxymethyl)-1H-8-thia-1-aza-dibenzo[e,h]azulen-2-ylmethoxy]-propylamine;

3-(1H-8-thia-1-aza-dibenzo[e,h]azulen-2-ylmethoxy)-propylamine; and

a pharmaceutically acceptable salt or solvate thereof.